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 NEWS  3  JUN 01  CAS REGISTRY Source of Registration (SR) searching
                  enhanced on STN
 NEWS  4  JUN 26  NUTRACEUT and PHARMAML no longer updated
 NEWS  5  JUN 29  IMSCOPROFILE now reloaded monthly
 NEWS  6  JUN 29  EPFULL adds Simultaneous Left and Right Truncation
                  (SLART) to AB, MCLM, and TI fields
 NEWS  7  JUL 09  PATDPAFULL adds Simultaneous Left and Right
                  Truncation (SLART) to AB, CLM, MCLM, and TI fields
 NEWS  8  JUL 14  USGENE enhances coverage of patent sequence location
                  (PSL) data
 NEWS  9  JUL 27  CA/CAplus enhanced with new citing references
 NEWS 10  JUL 16  GBFULL adds patent backfile data to 1855
 NEWS 11  JUL 21  USGENE adds bibliographic and sequence information
 NEWS 12  JUL 28  EPFULL adds first-page images and applicant-cited
                  references
 NEWS 13  JUL 28  INPADOCDB and INPAFAMDB add Russian legal status data
 NEWS 14  AUG 10  Time limit for inactive STN sessions doubles to 40
                  minutes
 NEWS 15  AUG 18  COMPENDEX indexing changed for the Corporate Source
                  (CS) field
 NEWS 16  AUG 24  ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
 NEWS 17  AUG 24  CA/CAplus enhanced with legal status information for
                  U.S. patents
 NEWS 18  SEP 09  50 Millionth Unique Chemical Substance Recorded in
                  CAS REGISTRY
 NEWS 19  SEP 11  WPIDS, WPINDEX, and WPIX now include Japanese FTERM
                  thesaurus

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SEARCH TIME: 00.00.01

L2            1 SEA SSS FUL L1

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FILE COVERS 1907 - 1 Oct 2009 VOL 151 ISS 14
FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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=> s l2
L3 2 L2

=> d l3 1-2 ibib ab hitstr

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1242575 CAPLUS
DOCUMENT NUMBER: 147:502363
TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer
INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 63pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20070254933 | A1 | 20071101 | US 2007-730168 | 20070329 |
| US 20080139634 | A2 | 20080612 | | |
| AU 2007245022 | A1 | 20071108 | AU 2007-245022 | 20070329 |
| CA 2648139 | A1 | 20071108 | CA 2007-2648139 | 20070329 |
| WO 2007127010 | A2 | 20071108 | WO 2007-US7854 | 20070329 |
| WO 2007127010 | A9 | 20080522 | | |
| WO 2007127010 | A3 | 20080731 | | |

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GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
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| EP 2013187 | A2 | 20090114 | EP 2007-754380 | | 20070329 |
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| JP 2009531449 | T | 20090903 | JP 2009-503016 | | 20070329 |
| MX 2008012492 | A | 20081212 | MX 2008-12492 | | 20080929 |
| NO 2008004480 | A | 20081219 | NO 2008-4480 | | 20081023 |
| KR 2009009215 | A | 20090122 | KR 2008-726364 | | 20081028 |
| IN 2008DN09073 | A | 20090320 | IN 2008-DN9073 | | 20081029 |
| CN 101460467 | A | 20090617 | CN 2007-80020099 | | 20081201 |
| PRIORITY APPLN. INFO.: | | | US 2006-786837P | P | 20060329 |
| | | | WO 2007-US7854 | W | 20070329 |

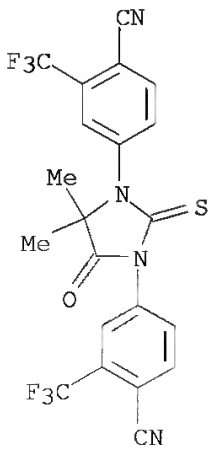
OTHER SOURCE(S): MARPAT 147:502363

AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

IT 915087-60-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylthiohydantoins as androgen receptor antagonists for treatment of hormone refractory prostate cancer)

RN 915087-60-4 CAPLUS

CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1228845 CAPLUS
DOCUMENT NUMBER: 145:505452
TITLE: Preparation of diarylhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer
INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006124118 | A1 | 20061123 | WO 2006-US11417 | 20060329 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006248109 | A1 | 20061123 | AU 2006-248109 | 20060329 |
| CA 2608436 | A1 | 20061123 | CA 2006-2608436 | 20060329 |
| EP 1893196 | A1 | 20080305 | EP 2006-748863 | 20060329 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| JP 2008540523 | T | 20081120 | JP 2008-511114 | 20060329 |
| US 20070004753 | A1 | 20070104 | US 2006-433829 | 20060515 |
| MX 2007014132 | A | 20080409 | MX 2007-14132 | 20071112 |
| NO 2007006401 | A | 20080208 | NO 2007-6401 | 20071212 |
| KR 2008014039 | A | 20080213 | KR 2007-729188 | 20071213 |
| IN 2007DN09668 | A | 20080620 | IN 2007-DN9668 | 20071213 |
| CN 101222922 | A | 20080716 | CN 2006-80025545 | 20080114 |

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| PRIORITY APPLN. INFO.: | US 2005-680835P | P | 20050513 |
| | US 2005-750351P | P | 20051215 |
| | US 2006-756552P | P | 20060106 |
| | US 2006-785978P | P | 20060327 |
| | WO 2006-US11417 | W | 20060329 |

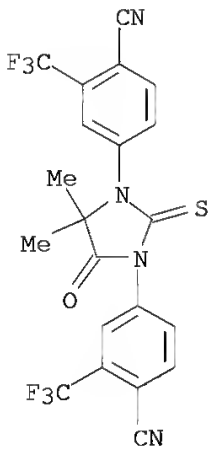
OTHER SOURCE(S): MARPAT 145:505452

AB The present invention relates to diarylhydantoin compds., including diarylthiohydantoins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C(:D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and =

(un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, C1-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide, N-methyl-2-fluoro-4-aminobenzamide, and N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide in DMF under microwave irradiation at 80° for 16 h followed by refluxing for 3 h after addition of MeOH and 2 N HCl.

IT 915087-60-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diarylhydantoin compds. as androgen receptor antagonists useful against hormone refractory prostate cancer)

RN 915087-60-4 CAPLUS
CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)

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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
=> s diarylthiohydantoin
2 DIARYLTHIOHYDANTOIN
6 DIARYLTHIOHYDANTOINS
L4 6 DIARYLTHIOHYDANTOIN
(DIARYLTHIOHYDANTOIN OR DIARYLTHIOHYDANTOINS)

=> d l4 1-6 ibib ab

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:543704 CAPLUS
DOCUMENT NUMBER: 151:115902
TITLE: Development of a Second-Generation Antiandrogen for Treatment of Advanced Prostate Cancer
AUTHOR(S): Tran, Chris; Ouk, Samedy; Clegg, Nicola J.; Chen, Yu; Watson, Philip A.; Arora, Vivek; Wongvipat, John; Smith-Jones, Peter M.; Yoo, Dongwon; Kwon, Andrew; Wasielewska, Teresa; Welsbie, Derek; Chen, Charlie Degui; Higano, Celestia S.; Beer, Tomasz M.; Hung, David T.; Scher, Howard I.; Jung, Michael E.; Sawyers, Charles L.
CORPORATE SOURCE: Human Oncology and Pathogenesis Program, Memorial Sloan-Kettering Cancer Center, New York, NY, 10065, USA
SOURCE: Science (Washington, DC, United States) (2009), 324(5928), 787-790
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Metastatic prostate cancer is treated with drugs that antagonize androgen action, but most patients progress to a more aggressive form of the disease called castration-resistant prostate cancer, driven by elevated expression of the androgen receptor. Here we characterize the diarylthiohydantoins RD162 and MDV3100, two compds. optimized from a screen for nonsteroidal antiandrogens that retain activity in the setting of increased androgen receptor expression. Both compds. bind to the androgen receptor with greater relative affinity than the clin. used antiandrogen bicalutamide, reduce the efficiency of its nuclear translocation, and impair both DNA binding to androgen response elements and recruitment of coactivators. RD162 and MDV3100 are orally available and induce tumor regression in mouse models of castration-resistant human prostate cancer. Of the first 30 patients treated with MDV3100 in a Phase I/II clin. trial, 13 of 30 (43%) showed sustained declines (by >50%) in serum concns. of prostate-specific antigen, a biomarker of prostate cancer. These compds. thus appear to be promising candidates for treatment of advanced prostate cancer.
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:950368 CAPLUS
TITLE: Rational drug design for the treatment of hormone refractory prostate cancer
AUTHOR(S): Jung, Michael E.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, UCLA, Los Angeles, CA, 90095-1569, USA
SOURCE: Abstracts of Papers, 236th ACS National Meeting, Philadelphia, PA, United States, August 17-21, 2008 (2008), CARB-028. American Chemical Society: Washington, D. C.
CODEN: 69KXQ2
DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)
LANGUAGE: English
AB The switch from hormone sensitive to hormone refractory prostate cancer

involves a 3- to 5-fold upregulation of the androgen receptor (AR) but is still androgen dependent. Therefore to effectively treat hormone refractory prostate cancer, one requires much more potent androgen receptor antagonists than the ones currently available. A new class of potent androgen receptor antagonists was designed and prepared Biol. data shows that these compds., diarylthiohydantoins, are extremely effective at inhibiting the growth of prostate cancer cells in which the AR has been overexpressed. A summary of the design, preparation, and biol. testing of these new AR antagonists, to include data on metabolism, distribution, and pharmacokinetics, will be presented. The lead compound, MDV3100, is now in Phase 1/2 clin. trials.

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1242575 CAPLUS
DOCUMENT NUMBER: 147:502363
TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer
INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 63pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20070254933 | A1 | 20071101 | US 2007-730168 | | 20070329 |
| US 20080139634 | A2 | 20080612 | | | |
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| CA 2648139 | A1 | 20071108 | CA 2007-2648139 | | 20070329 |
| WO 2007127010 | A2 | 20071108 | WO 2007-US7854 | | 20070329 |
| WO 2007127010 | A9 | 20080522 | | | |
| WO 2007127010 | A3 | 20080731 | | | |
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| EP 2013187 | A2 | 20090114 | EP 2007-754380 | | 20070329 |
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| NO 2008004480 | A | 20081219 | NO 2008-4480 | | 20081023 |
| KR 2009009215 | A | 20090122 | KR 2008-726364 | | 20081028 |
| IN 2008DN09073 | A | 20090320 | IN 2008-DN9073 | | 20081029 |
| CN 101460467 | A | 20090617 | CN 2007-80020099 | | 20081201 |
| PRIORITY APPLN. INFO.: | | | US 2006-786837P | P | 20060329 |
| | | | WO 2007-US7854 | W | 20070329 |

OTHER SOURCE(S): MARPAT 147:502363

AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1228845 CAPLUS

DOCUMENT NUMBER: 145:505452

TITLE: Preparation of diarylhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer

INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2006124118 | A1 | 20061123 | WO 2006-US11417 | | 20060329 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| AU 2006248109 | A1 | 20061123 | AU 2006-248109 | | 20060329 |
| CA 2608436 | A1 | 20061123 | CA 2006-2608436 | | 20060329 |
| EP 1893196 | A1 | 20080305 | EP 2006-748863 | | 20060329 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | | |
| JP 2008540523 | T | 20081120 | JP 2008-511114 | | 20060329 |
| US 20070004753 | A1 | 20070104 | US 2006-433829 | | 20060515 |
| MX 2007014132 | A | 20080409 | MX 2007-14132 | | 20071112 |
| NO 2007006401 | A | 20080208 | NO 2007-6401 | | 20071212 |
| KR 2008014039 | A | 20080213 | KR 2007-729188 | | 20071213 |
| IN 2007DN09668 | A | 20080620 | IN 2007-DN9668 | | 20071213 |
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| PRIORITY APPLN. INFO.: | | | US 2005-680835P | P | 20050513 |
| | | | US 2005-750351P | P | 20051215 |
| | | | US 2006-756552P | P | 20060106 |
| | | | US 2006-785978P | P | 20060327 |

WO 2006-US11417 W 20060329

OTHER SOURCE(S): MARPAT 145:505452

AB The present invention relates to diarylhydantoin compds., including diarylthiohydantoins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C(:D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and = (un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, C1-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide, N-methyl-2-fluoro-4-aminobenzamide, and N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide in DMF under microwave irradiation at 80° for 16 h followed by refluxing for 3 h after addition of MeOH and 2 N HCl.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:186354 CAPLUS

DOCUMENT NUMBER: 104:186354

ORIGINAL REFERENCE NO.: 104:29509a,29512a

TITLE: 5,5-Diaryl-2-thiohydantoins and 5,5-diaryl N3-substituted 2-thiohydantoins as potential hypolipidemic agents

AUTHOR(S): Tompkins, J. Ellsworth

CORPORATE SOURCE: Coll. Health Related Profess., State Univ. New York, Syracuse, NY, 13210, USA

SOURCE: Journal of Medicinal Chemistry (1986), 29(5), 855-9
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 104:186354

AB Title thiohydantoins I [R = H, R1, R2 = (un)substituted Ph, 2-pyridyl; R-R2 = Ph; R = Bu, R1 = R2 = Ph or 2-pyridyl] were prepared as potential hypolipidemic agents with the goal of increased potency over DPTH (I; R = H, R1 = R2 = Ph) itself. I (R = H, R1 = R2 = 2-pyridyl) had slightly better activity than DPTH in lowering liver cholesterol values.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:34167 CAPLUS

DOCUMENT NUMBER: 76:34167

ORIGINAL REFERENCE NO.: 76:5535a,5538a
TITLE: Hydantoins, thiohydantoins, glycocyamidines. XXXIII. Reductive uncoupling rearrangements of the retrobenzilic acid type using Lewis acids. VIII. Reactions of 5,5-diarylthiohydantoins with boron trifluoride etherates, boron trifluoride etherate/boron trifluoride mixtures, and gallium bromide
AUTHOR(S): Fetter, J.; Nyitrai, J.; Lempert, K.
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Budapest, Hung.
SOURCE: Tetrahedron (1971), 27(23), 5933-41
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German

AB 5,5-Diaryldithiohydantoins refluxed with BF3-Me2O are selectively methylated at the S-2 atom, and (or) suffer rearrangements of the retrobenzilic acid type under simultaneous extrusion of the thioxo S atom from position 4 to yield imidazole derivs. The latter type of reaction was previously effected by AlCl3. Derivs. already methylated at the S-2 atom are only rearranged, as are also the derivs. of 5,5-diphenyl-4-thiohydantoin if a reaction with the latter occurs at all. Derivs. of 5,5-diphenyl-2-thiohydantoin, on the other hand, are only S-methylated by BF3-Me2O without being rearranged. The selective methylating properties of the BF3-Me2O reagent may be applied for the smooth preparation of several hitherto difficulty accessible (di)thiohydantoin derivs. GaBr3 is a catalyst comparable with AlCl3 for effecting rearrangements of 5,5-diaryl-4-thio- and -dithiohydantoin derivs., its milder properties being in some cases favorable. In the cases where the migratory aptitudes of Ph and p-chlorophenyl groups could be compared the migratory aptitude of the former was always the greater.

=> FIL STNGUIDE

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 45.52 | 231.62 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -6.56 | -6.56 |

FILE 'STNGUIDE' ENTERED AT 11:12:02 ON 01 OCT 2009


FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 25, 2009 (20090925/UP).